Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Talarozole

Catalog No: tcsc1343

Available Sizes

Size: 2mg

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
201410-53-9

Formula:
$C_{21}H_{23}N_5S$

Pathway:
Metabolic Enzyme/Protease

Target:
Cytochrome P450

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 36 mg/mL (95.36 mM)

Alternative Names:
R115866

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Observed Molecular Weight:
377.51

Product Description

Talarozole is a potent inhibitor of both **CYP26A1** and **CYP26B1**, with **IC_{50}** of 0.46 nM and 5.1 nM for **CYP26B1** and **CYP26A1**, respectively.

IC50 & Target: IC50: 0.46/5.1 nM (CYP26B1/A1)[1]

In Vitro: When HepG2 cells are cotreated with atRA and Talarozole (1 μM), 4-OH-RA and 4-oxo-RA formation is significantly decreased[2].

In Vivo: A maximum 84% inhibition of CYP26 activity at 0.5 hours post-dose is predicted based on Talarozole (TLZ) C_{max} of 80 nM and a K_i of 1 nM following a single dose of Talarozole. Due to the short Talarozole half-life (2.2 hrs) CYP26 activity is predicted to return to 100% by 12 hours. In agreement with the predictions, *at*RA concentrations are increased by 82, 63 and 60% at 4 hours post-dose in the serum, liver and testes, respectively, and concentrations returned to baseline by 24 hours. Following multiple doses of Talarozole, liver CYP26 mRNA and activity are increased suggesting autoinduction of CYP26 due to increased *at*RA concentrations. In agreement, *at*RA concentrations are elevated in serum and liver at all timepoints measured. This increase in *at*RA concentrations is associated with increased mRNA of the mitochondrial biogenesis markers PGC-1β and NRF-1 in comparison to control mice[3].